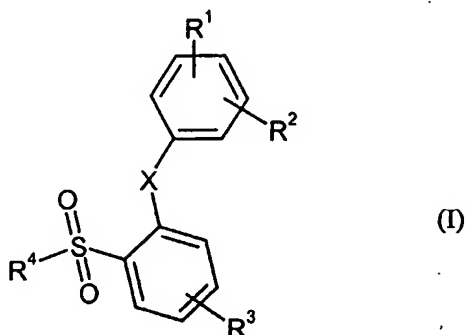


CLAIMS

1. A benzenesulfonamide derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof:



5 wherein

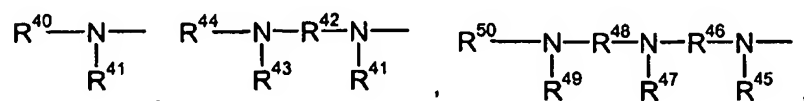
X represents O or S;

10 R^1 represents hydrogen, halogen, hydroxy, nitro, cyano, C_{1-6} alkoxy carbonyl, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{1-6} alkanoyl, phenyl, C_{1-6} alkyl optionally substituted by mono-, di- or tri- halogen, or C_{1-6} alkoxy optionally substituted by mono-, di- or tri- halogen;

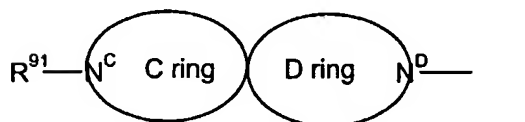
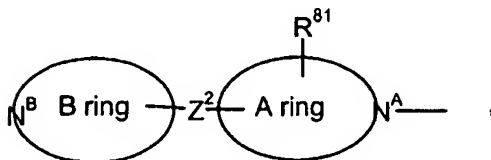
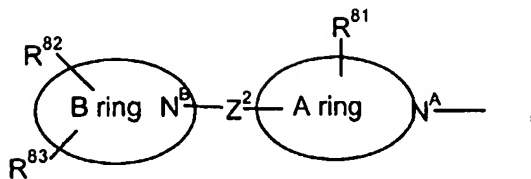
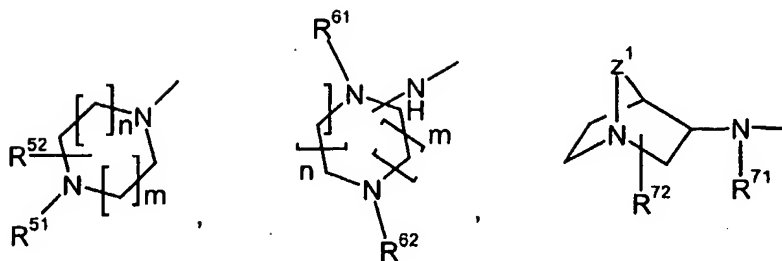
R^2 represents hydrogen, halogen, hydroxy, nitro, cyano, C_{1-6} alkoxy carbonyl, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{1-6} alkanoyl, phenyl, C_{1-6} alkyl optionally substituted by mono-, di- or tri- halogen or C_{1-6} alkoxy optionally substituted by mono-, di- or tri- halogen;

15 R^3 represents hydrogen, halogen, hydroxy, nitro, cyano, amino, carboxy, tetrazolyl, C_{1-6} alkoxy, C_{1-6} alkoxy carbonyl, C_{1-6} alkanoyl, C_{1-6} alkanoyl-amino, C_{1-6} alkyl optionally substituted by mono-, di- or tri- halogen or hydroxy;

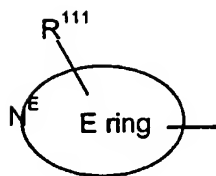
R^4 represents



- 70 -



or



wherein

R^{40} represents C_{1-6} alkyl substituted by pyrrolidinyl or piperidinyl wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo, 7-oxa-bicyclo[4.1.0]hept-3-yl optionally having 1 or 2 substituents selected from the group consisting of amino, $(C_{1-6}$ alkyl)amino and di $(C_{1-6}$ alkyl)amino, or a 5 to 8 membered saturated heterocyclic ring containing 1 or 2 heteroatoms selected from the group consisting of N and O and optionally having

from 1 to 3 substituents selected from the group consisting of hydroxy, amino, oxo and C₁₋₆ alkyl;

5 R⁴¹ represents hydrogen, C₁₋₆ alkyl optionally substituted by amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, or 2,5- dioxo pyrrolidin-1-yl or a C₅₋₈ cycloalkyl optionally substituted by hydroxy,

or

R⁴⁰ and R⁴¹ may form, together with adjacent N atom, a 5 to 8 membered saturated heterocyclic ring optionally interrupted by O;

10 R⁴² represents C₁₋₆ alkylene optionally substituted by hydroxy or carboxy, or a C₅₋₈ cycloalkyl substituted by at least one hydroxy and moreover optionally 1 or 2 substituents selected from the group consisting of hydroxy, amino, oxo and C₁₋₆ alkyl,

or

15 R⁴¹ and R⁴² may form, together with adjacent N atom, a 5 to 8 membered saturated heterocyclic ring optionally interrupted by NH or O, wherein said 5 to 8 membered saturated heterocyclic ring is substituted by mono- or di- oxo;

20 with the proviso that when R⁴¹ is hydrogen, C₁₋₆ alkyl optionally substituted by amino, C₁₋₆ alkylamino, or di(C₁₋₆ alkyl)amino, R⁴² is hydroxy substituted C₁₋₆ alkylene or carboxy substituted C₁₋₆ alkylene;

R⁴³ represents hydrogen, or C₁₋₆ alkyl optionally substituted by hydroxy or carboxy;

R⁴⁴ represents hydrogen, or C₁₋₆ alkyl optionally substituted by hydroxy or carboxy;

25 with the proviso that when R⁴¹ and R⁴² form, together with adjacent N atom, a 5 to 8 membered saturated heterocyclic ring substituted by mono- or di-oxo, R⁴⁴ represents hydroxy substituted C₁₋₆ alkyl or carboxy substituted C₁₋₆ alkyl;

- R⁴⁵, R⁴⁷, R⁴⁹ and R⁵⁰ independently represent hydrogen or C₁₋₆ alkyl;
- R⁴⁶ and R⁴⁸ independently represent C₁₋₆ alkylene optionally substituted hydroxy or carboxy;
- n represents an integer selected from 1 to 3;
- 5 m represents an integer selected from 0 to 3;
- R⁵¹ represents hydrogen, C₁₋₆ alkyl, or a 3 to 8 membered saturated ring optionally interrupted by NH or O;
- 10 R⁵² represents hydrogen, C₁₋₆ alkoxy carbonyl, or C₁₋₆ alkyl substituted by carboxy, amino, (C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, N-(C₁₋₆ alkylsulfonyl)amino, N-(C₁₋₆ alkanoyl)amino, C₁₋₆ alkoxycarbonyl, tetrazolyl, triazolyl, indoliny, isoindoliny, indolyl, isoindolyl, pyrrolidinyl optionally substituted by mono- or di- oxo, or piperidinyl optionally substituted by mono- or di- oxo,
- 15 with the proviso that when R⁵¹ and R⁵² are hydrogen at the same time, R³ is tetrazolyl or C₁₋₆ alkanoyl, or when R⁵¹ is hydrogen or C₁₋₆ alkyl, R⁵² is other than hydrogen;
- R⁶¹ and R⁶² independently represent hydrogen or C₁₋₆ alkyl optionally substituted by hydroxy, carboxy, phenyl or mono-, di- or tri halogen;
- 20 R⁷¹ represents hydrogen, or C₁₋₆ alkyl optionally substituted by amino, hydroxy, carboxy, pyrrolidinyl or piperidinyl, wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo;
- 25 R⁷² represents hydrogen, carboxy, C₁₋₆ alkanoyl, amino, (C₁₋₆alkyl)-amino, di(C₁₋₆alkyl)amino, N-(C₁₋₆alkyl)amino carbonyl, C₁₋₆ alkyl optionally substituted by hydroxy, carboxy, or mono-, di- or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di- or tri-halogen, pyrrolidinyl or piperidinyl, wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo;

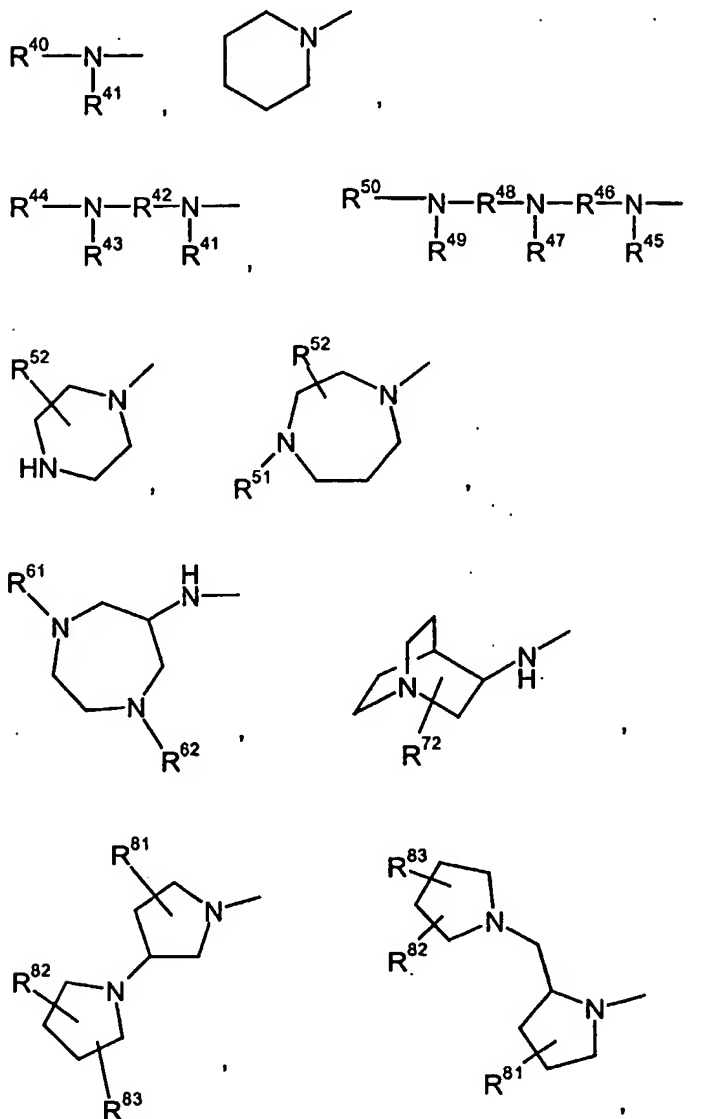
- Z^1 represents $-[CH_2]_p-$, wherein p represents an integer 1 or 2;
- R^{81} represents hydrogen, C_{1-6} alkoxy carbonyl, or C_{1-6} alkyl substituted by pyrrolidinyl or piperidinyl, wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo;
- 5 R^{82} represents hydrogen, hydroxy, carboxy or C_{1-6} alkyl substituted by hydroxy, amino, or carboxy,
- R^{83} represents hydrogen, hydroxy, carboxy, or C_{1-6} alkyl substituted by hydroxy, amino, or carboxy,
- 10 with the proviso that when R^{81} is hydrogen, R^{82} or R^{83} is other than hydrogen;
- Z^2 represents $-[CH_2]_q-$, wherein q represents an integer selected from 0 to 3;
- R^{91} represents hydrogen or C_{1-6} alkyl optionally substituted by phenyl;
- 15 R^{111} represents hydrogen, carboxy, C_{1-6} alkoxy carbonyl, C_{1-6} alkanoyl, N-(C_{1-6} alkyl) aminocarbonyl, C_{1-6} alkoxy optionally substituted by mono-, di- or tri- halogen, or C_{1-6} alkyl optionally substituted by hydroxy, mono-, di- or tri- halogen, amino, (C_{1-6} alkyl)amino, di(C_{1-6} alkyl)amino, N-(C_{1-6} alkylsulfonyl)amino, N-(C_{1-6} alkanoyl)-amino, C_{1-6} alkoxy carbonyl, tetrazolyl, triazolyl, indolyl, isoindolyl, indolyl, isoindolyl, pyrrolidinyl or piperidinyl wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo;
- 20
- A ring represents a 3 to 8 membered saturated heterocyclic ring, in which the nitrogen atom N^A is the only hetero atom;
- 25 B ring represents a 3 to 8 membered saturated heterocyclic ring, in which the nitrogen atom N^B is the only hetero atom;
- C ring and D ring together form a 7 to 15 membered diazabicyclic ring; and

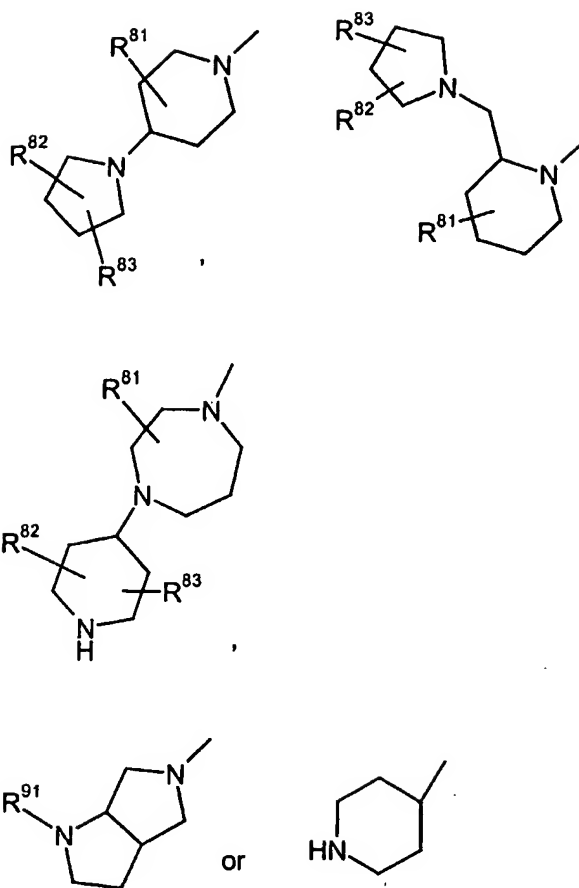
E ring represents a 5 to 8 membered saturated heterocyclic ring, in which the nitrogen atom N^E is the only hetero atom.

2. The benzenesulfonamide derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

5 wherein

R⁴ represents





wherein

R^{40} represents C_{1-6} alkyl having substituent selected from the group consisting of 2-oxo-pyrrolidin-1-yl, 2,5-dioxo-pyrrolidin-1-yl, 2-oxo-piperidin-1-yl, 2-oxo-piperidin-3-yl, 4-oxo-piperidin-1-yl, 2-oxo-piperidin-6-yl, 2,5-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-1-yl, and 2,6-dioxo-piperidin-3-yl, piperidin-1-yl, -2-yl, -3-yl or -4-yl (wherein said piperidin is optionally substituted by mono- or di-oxo), hexahydroazepin-1-yl, -2-yl, -3-yl or -4-yl (wherein said hexahydroazepin is optionally substituted by mono- or di-oxo), and 7-oxa-bicyclo[4.1.0]hept-3-yl optionally substituted by amino;

R^{41} represents hydrogen, cyclopentyl or C_{1-6} alkyl optionally substituted by amino, C_{1-6} alkyl amino, di- $(C_{1-6}$ alkyl)amino, or 2,5-dioxo-pyrrolidin-1-yl,

R⁴² represents C₁₋₄ alkylenc substituted by carboxy or cyclohexyl substituted by mono or di hydroxy,

R⁴¹ and R⁴² may form, together with adjacent N atom, a 5 or 6 membered saturated heterocyclic ring;

5 with the proviso that when R⁴¹ is hydrogen, C₁₋₆ alkyl optionally substituted by amino, C₁₋₆ alkylamino, or di(C₁₋₆ alkyl)amino, R⁴² is hydroxy substituted C₁₋₆ alkylene or carboxy substituted C₁₋₆ alkylene;

R⁴³ represents hydrogen or C₁₋₆ alkyl optionally substituted by hydroxy,

R⁴⁴ represents C₁₋₆ alkyl optionally substituted by hydroxy or carboxy,

10 with the proviso that when R⁴¹ and R⁴² form, together with adjacent N atom, a 5 or 6 membered saturated heterocyclic ring, R⁴⁴ is hydroxy substituted C₁₋₆ alkyl or carboxy substituted C₁₋₆ alkyl;

R⁴⁵, R⁴⁷, R⁴⁹ and R⁵⁰ independently represent hydrogen, methyl or ethyl;

15 R⁴⁶ and R⁴⁸ independently represent C₁₋₆ alkylene optionally substituted hydroxy or carboxy;

R⁵¹ represents hydrogen, cyclopentyl, ethyl or methyl;

20 R⁵² represents methoxycarbonyl or C₁₋₆alkyl substituted by carboxy, amino, methoxycarbonyl, methanesulfonylamino, acetamido, indolyl, tetrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, pyrrolidin-1-yl, 2-oxo-pyrrolidin-1-yl, 2,5- dioxo pyrrolidin-1-yl, 2-oxo-piperidin-1-yl, 2-oxo-piperidin-3-yl, 4-oxo-piperidin-1-yl, 2-oxo-piperidin-6-yl, 2,5-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-1-yl, or 2,6-dioxo-piperidin-3-yl;

R⁶¹ and R⁶² independently represents benzyl or phenethyl;

25 R⁷² represents hydrogen, carboxy, C₁₋₆ alkanoyl, amino, (C₁₋₆alkyl)-amino, di(C₁₋₆alkyl)amino, N-(C₁₋₆alkyl)amino carbonyl, C₁₋₆ alkyl optionally substituted by hydroxy, carboxy, or mono-, di- or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di- or tri-

halogen, pyrrolidinyl or piperidinyl wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo;

5 R^{81} represents hydrogen, methoxycarbonyl or C_{1-6} alkyl substituted by 2-oxo-pyrrolidin-1-yl, 2,5- dioxo pyrrolidin-1-yl, 2-oxo-piperidin-1-yl, 2-oxo-piperidin-3-yl, 4-oxo-piperidin-1-yl, 2-oxo-piperidin-6-yl, 2,5-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-1-yl, or 2,6-dioxo-piperidin-3-yl;

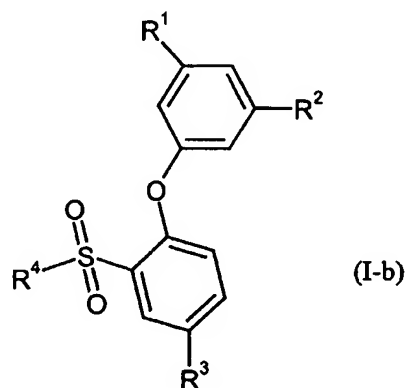
R^{82} represents hydrogen, hydroxy or C_{1-6} alkyl substituted by hydroxy;

R^{83} represents hydrogen, hydroxy or carboxy;

10 with the proviso that when R^{82} and R^{83} are hydrogen at the same time, R^{81} is other than hydrogen, or when R^{81} and R^{83} are hydrogen at the same time, R^{82} is other than hydrogen;

R^{91} represents benzyl or phenethyl.

15 3. A benzenesulfonamide derivative of the formula (I-b), its tautomeric or stereoisomeric form, or a salt thereof:



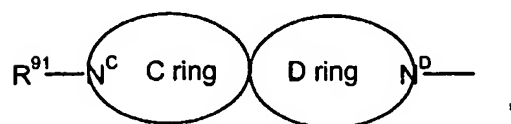
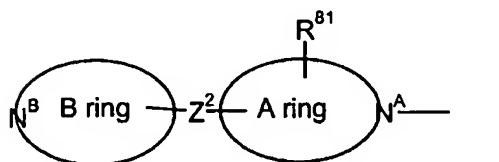
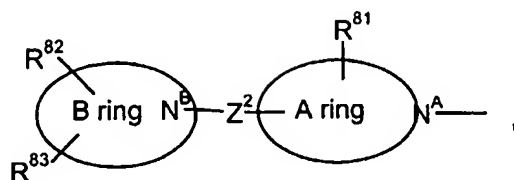
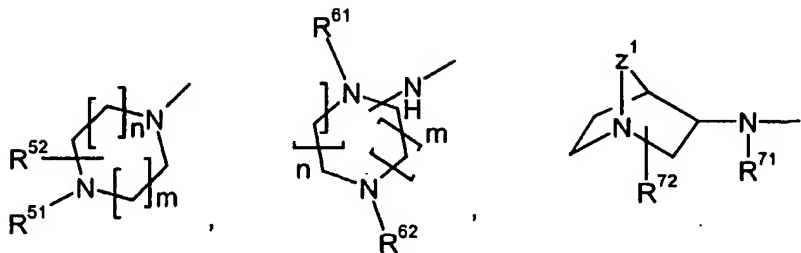
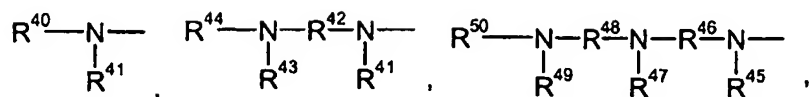
wherein

R^1 represents fluoro, chloro, bromo, iodo, or nitro;

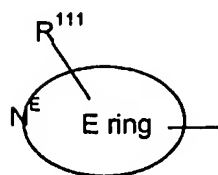
R^2 represents fluoro, chloro, bromo, iodo, or nitro;

20 R^3 represents acetyl, cyano, or tetrazolyl;

R^4 represents



or



wherein

R^{40} represents C_{1-6} alkyl substituted by pyrrolidinyl or piperidinyl wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo, 7-oxa-bicyclo[4.1.0]hept-3-yl optionally

5 having 1 or 2 substituents selected from the group consisting of amino, (C₁₋₆ alkyl)amino and di(C₁₋₆ alkyl)amino, or a 5 to 8 membered saturated heterocyclic ring containing 1 or 2 heteroatoms selected from the group consisting of N and O and optionally having from 1 to 3 substituents selected from the group consisting of hydroxy, amino, oxo and C₁₋₆ alkyl;

R⁴¹ represents hydrogen, C₁₋₆ alkyl optionally substituted by amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, or 2,5- dioxo pyrrolidin-1-yl or a C₅₋₈ cycloalkyl optionally substituted by hydroxy,

10 or

R⁴⁰ and R⁴¹ may form, together with adjacent N atom, a 5 to 8 membered saturated heterocyclic ring optionally interrupted by O;

15 R⁴² represents C₁₋₆ alkylene optionally substituted by hydroxy or carboxy, or a C₅₋₈ cycloalkyl substituted by at least one hydroxy and moreover optionally 1 or 2 substituents selected from the group consisting of hydroxy, amino, oxo and C₁₋₆ alkyl,

or

20 R⁴¹ and R⁴² may form, together with adjacent N atom, a 5 to 8 membered saturated heterocyclic ring optionally interrupted by NH or O, wherein said 5 to 8 membered saturated heterocyclic ring is substituted by mono- or di- oxo,

with the proviso that when R⁴¹ is hydrogen, C₁₋₆ alkyl optionally substituted by amino, C₁₋₆ alkylamino, or di(C₁₋₆ alkyl)amino, R⁴² is hydroxy substituted C₁₋₆ alkylene or carboxy substituted C₁₋₆ alkylene;

25 R⁴³ represents hydrogen, or C₁₋₆ alkyl optionally substituted by hydroxy or carboxy;

R⁴⁴ represents C₁₋₆ alkyl optionally substituted by hydroxy or carboxy,

with the proviso that when R⁴¹ and R⁴² form, together with adjacent N atom, a 5 to 8 membered saturated heterocyclic ring substituted by mono- or di-

oxo, R⁴⁴ represents hydroxy substituted C₁₋₆ alkyl or carboxy substituted C₁₋₆ alkyl;

R⁴⁵, R⁴⁷, R⁴⁹ and R⁵⁰ independently represent hydrogen or C₁₋₆ alkyl;

5 R⁴⁶ and R⁴⁸ independently represent C₁₋₆ alkylene optionally substituted hydroxy or carboxy;

n represents an integer selected from 1 to 3;

m represents an integer selected from 0 to 3;

R⁵¹ represents hydrogen, C₁₋₆ alkyl, or a 3 to 8 membered saturated ring optionally interrupted by NH or O;

10 R⁵² represents hydrogen, C₁₋₆ alkoxy carbonyl, or C₁₋₆ alkyl substituted by amino, (C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, N-(C₁₋₆ alkyl-sulfonyl)amino, N-(C₁₋₆ alkanoyl)amino, C₁₋₆ alkoxy carbonyl, tetrazolyl, triazolyl, indolyl, isoindolyl, indolyl, isoindolyl, pyrrolidinyl optionally substituted by mono- or di- oxo, or
15 piperidinyl optionally substituted by mono- or di- oxo,

with the proviso that when R⁵¹ and R⁵² are hydrogen at the same time, R³ is tetrazolyl or C₁₋₆ alkanoyl, or when R⁵¹ is hydrogen or C₁₋₆ alkyl, R⁵² is other than hydrogen;

20 R⁶¹ and R⁶² independently represent hydrogen or C₁₋₆ alkyl optionally substituted by hydroxy, carboxy, phenyl or mono-, di- or tri halogen;

R⁷¹ represents hydrogen, or C₁₋₆ alkyl optionally substituted by amino, hydroxy, carboxy, pyrrolidinyl or piperidinyl, wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or
25 di- oxo;

R⁷² represents hydrogen, carboxy, C₁₋₆ alkanoyl, amino, (C₁₋₆alkyl)-amino, di(C₁₋₆alkyl)amino, N-(C₁₋₆alkyl)amino carbonyl, C₁₋₆ alkyl optionally substituted by hydroxy, carboxy, or mono-, di- or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di- or tri-

halogen, pyrrolidiny1 or piperidiny1, wherein said pyrrolidiny1 and piperidiny1 are optionally substituted by mono- or di- oxo;

Z^1 represents $-(CH_2)_p-$, wherein p represents an integer 1 or 2;

5 R^{81} represents hydrogen, C_{1-6} alkoxy carbonyl, or C_{1-6} alkyl substituted by pyrrolidiny1, or piperidiny1, wherein said pyrrolidiny1 and piperidiny1 are optionally substituted by mono- or di- oxo;

R^{82} represents hydrogen, hydroxy, carboxy or C_{1-6} alkyl substituted by hydroxy, amino, or carboxy,

10 R^{83} represents hydrogen, hydroxy, carboxy, or C_{1-6} alkyl substituted by hydroxy, amino, or carboxy,

with the proviso that when R^{81} is hydrogen, R^{82} or R^{83} is other than hydrogen;

Z^2 represents $-(CH_2)_q-$,

wherein

15 q represents an integer selected from 0 to 3;

R^{91} represents hydrogen or C_{1-6} alkyl optionally substituted by phenyl;

20 R^{111} represents hydrogen, carboxy, C_{1-6} alkoxy carbonyl, C_{1-6} alkanoyl, $N-(C_{1-6}alkyl)$ aminocarbonyl, C_{1-6} alkoxy optionally substituted by mono-, di- or tri- halogen, or C_{1-6} alkyl optionally substituted by hydroxy, mono-, di- or tri- halogen, amino, $(C_{1-6} alkyl)amino$, $di(C_{1-6} alkyl)amino$, $N-(C_{1-6} alkylsulfonyl)amino$, $N-(C_{1-6} alkanoyl)-amino$, C_{1-6} alkoxy carbonyl, tetrazolyl, triazolyl, indoliny1, isoindoliny1, indolyl, isoindolyl, pyrrolidiny1 or piperidiny1, wherein said pyrrolidiny1 and piperidiny1 are optionally substituted by
25 mono- or di- oxo;

A ring represents a 3 to 8 membered saturated heterocyclic ring, in which the nitrogen atom N^A is the only hetero atom;

B ring represents a 3 to 8 membered saturated heterocyclic ring, in which the nitrogen atom N^B is the only hetero atom;

C ring and D ring together form a 7 to 12 membered diazabicyclic ring; and

5 E ring represents a 5 to 8 membered saturated heterocyclic ring, in which the nitrogen atom N^E is the only hetero atom.

4. The benzenesulfonamide derivative of the formula (I-b), its tautomeric or stereoisomeric form, or a salt

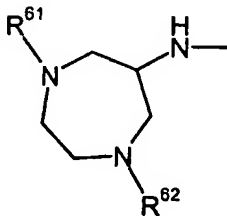
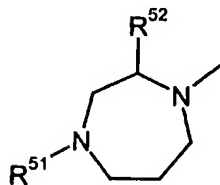
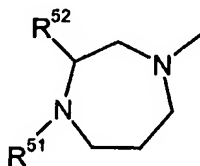
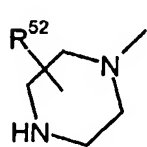
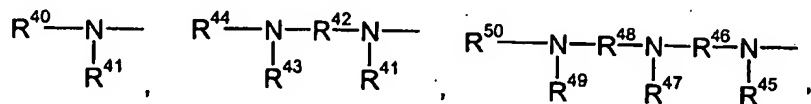
wherein

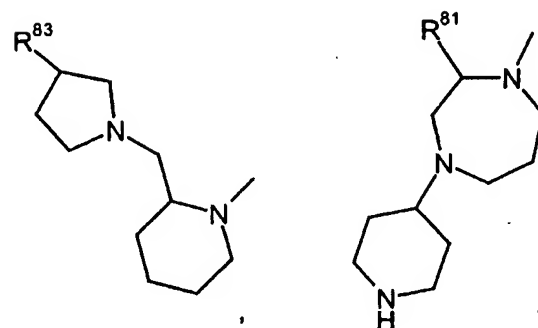
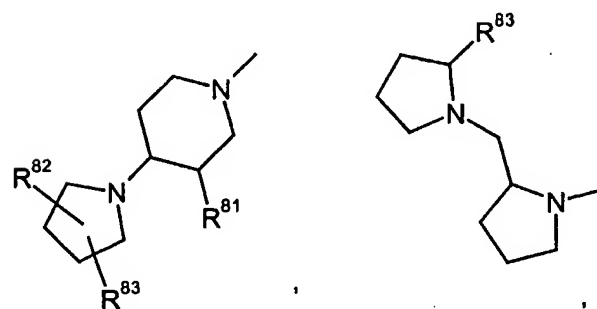
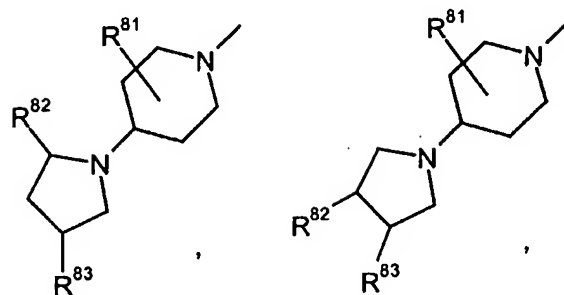
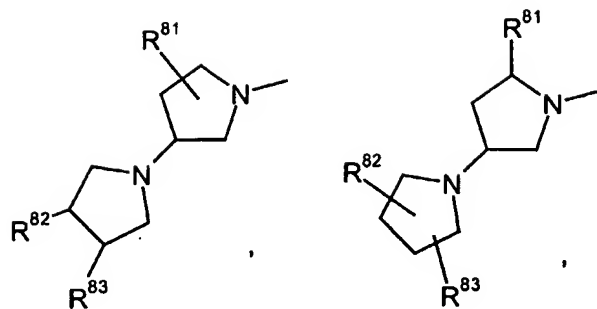
R¹ represents fluoro, chloro or bromo;

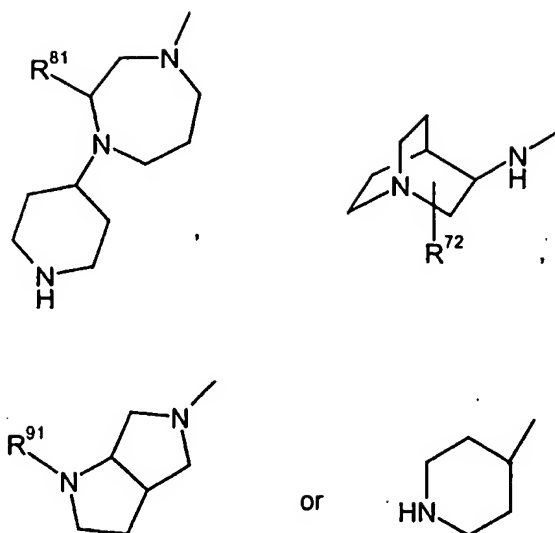
10 R² represents fluoro, chloro or bromo;

R³ represents cyano;

R⁴ represents







wherein

5 R^{40} represents C_{1-6} alkyl having substituent selected from the group consisting of 2-oxo-pyrrolidin-1-yl, 2,5-dioxo-pyrrolidin-1-yl, 2-oxo-piperidin-1-yl, 2-oxo-piperidin-3-yl, 4-oxo-piperidin-1-yl, 2-oxo-piperidin-6-yl, 2,5-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-3-yl, piperidin-1-yl, -2-yl, -3-yl or -4-yl (wherein said piperidin is optionally substituted by mono- or di-oxo), hexahydroazepin-1-yl, -2-yl, -3-yl or -4-yl (wherein said hexahydroazepin is optionally substituted by mono- or di-oxo), and 7-oxa-bicyclo[4.1.0]hept-3-yl optionally substituted by amino;

R^{41} represents hydrogen, cyclopentyl or C_{1-6} alkyl optionally substituted by amino, C_{1-6} alkyl amino, di- $(C_{1-6}$ alkyl)amino, or 2,5-dioxo-pyrrolidin-1-yl,

15 R^{42} represents C_{1-4} alkylene substituted by carboxy or cyclohexyl substituted by mono- or di-hydroxy,

R^{41} and R^{42} may form, together with adjacent N atom, a 5 or 6 membered saturated heterocyclic ring;

R^{43} represents hydrogen or C_{1-6} alkyl optionally substituted by hydroxy,

R^{44} represents C_{1-6} alkyl optionally substituted by hydroxy or carboxy,

with the proviso that when R⁴¹ and R⁴² form, together with adjacent N atom, a 5 or 6 membered saturated heterocyclic ring, R⁴⁴ is hydroxy substituted C₁₋₆ alkyl or carboxy substituted C₁₋₆ alkyl;

R⁴⁵, R⁴⁷, R⁴⁹ and R⁵⁰ independently represent hydrogen, methyl or ethyl;

5 R⁴⁶ and R⁴⁸ independently represent C₁₋₆ alkylene optionally substituted hydroxy or carboxy;

R⁵¹ represents hydrogen, cyclopentyl, ethyl or methyl;

10 R⁵² represents methoxycarbonyl or C₁₋₆alkyl substituted by carboxy, amino, methoxycarbonyl, methanesulfonylamino, acetamido, indolyl, tetrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, pyrrolidin-1-yl, 2-oxo-pyrrolidin-1-yl, 2,5- dioxo pyrrolidin-1-yl, 2-oxo-piperidin-1-yl, 2-oxo-piperidin-3-yl, 4-oxo-piperidin-1-yl, 2-oxo-piperidin-6-yl, 2,5-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-1-yl, or 2,6-dioxo-piperidin-3-yl;

15 R⁶¹ and R⁶² independently represents benzyl or phenethyl;

20 R⁷² represents hydrogen, carboxy, C₁₋₆ alkanoyl, amino, (C₁₋₆alkyl)-amino, di(C₁₋₆alkyl)amino, N-(C₁₋₆alkyl)amino carbonyl, C₁₋₆ alkyl optionally substituted by hydroxy, carboxy, or mono-, di- or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di- or tri-halogen, pyrrolidinyl or piperidinyl, wherein said pyrrolidinyl and piperidinyl are optionally substituted by mono- or di- oxo;

25 R⁸¹ represents hydrogen, methoxycarbonyl or C₁₋₆ alkyl substituted by 2-oxo-pyrrolidin-1-yl, 2,5- dioxo pyrrolidin-1-yl, 2-oxo-piperidin-1-yl, 2-oxo-piperidin-3-yl, 4-oxo-piperidin-1-yl, 2-oxo-piperidin-6-yl, 2,5-dioxo-piperidin-1-yl, 2,6-dioxo-piperidin-1-yl, or 2,6-dioxo-piperidin-3-yl;

R⁸² represents hydrogen, hydroxy or hydroxy substituted C₁₋₆ alkyl;

R⁸³ represents hydrogen, hydroxy or carboxy;

with the proviso that when R^{82} and R^{83} are hydrogen at the same time, R^{81} is other than hydrogen, or when R^{81} and R^{83} are hydrogen at the same time, R^{82} is other than hydrogen;

R^{91} represents benzyl or phenethyl.

- 5 5. The benzenesulfonamide derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 to 4, wherein said benzenesulfonamide derivative of the formula is selected from the group consisting of:

10 3-(1-Benzyl-hexahydro-pyrrolo[3,4-b]pyrrole-5-sulfonyl)-4-(3,5-dichloro-phenoxy)-benzonitrile;

N-{4-[5-Cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonyl]-piperazin-2-ylmethyl}-methanesulfonamide;

N-{4-[5-Cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonyl]-piperazin-2-ylmethyl}-acetamide;

15 N-{1-[5-Cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonyl]-piperazin-2-ylmethyl}-methanesulfonamide;

N-{1-[5-Cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonyl]-piperazin-2-ylmethyl}-acetamide;

20 4-(3,5-Dichloro-phenoxy)-3-[(3R)-(2-hydroxy-ethylamino)-pyrrolidine-1-sulfonyl]-benzonitrile;

3-(2-Aminomethyl-piperazine-1-sulfonyl)-4-(3,5-dichloro-phenoxy)-benzonitrile dihydrochloride;

1-[5-Cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonyl]-[1,4]diazepane-2-carboxylic acid methyl ester;

25 4-(3,5-Dichloro-phenoxy)-3-[3(S)-(1H-indol-3-ylmethyl)-piperazine-1-sulfonyl]-benzonitrile;

- 4-(3,5-Dichloro-phenoxy)-3-[2(S)-(1H-indol-3-ylmethyl)-piperazine-1-sulfonyl]-benzonitrile;
- 4-(3,5-Dichloro-phenoxy)-3-[2-(2,5-dioxo-pyrrolidin-1-ylmethyl)-piperazine-1-sulfonyl]-benzonitrile;
- 5 N-{1-[5-Cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonyl]-[1,4]diazepan-2-ylmethyl}-methanesulfonamide;
- 1-[4-(3,5-Dichloro-phenoxy)-3-(piperazine-1-sulfonyl)-phenyl]-ethanone;
- (R)-N-(1-Aza-bicyclo[2.2.2]oct-3-yl)-5-cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonamide;
- 10 (S)-N-(1-Aza-bicyclo[2.2.2]oct-3-yl)-5-cyano-2-(3,5-dichloro-phenoxy)-benzenesulfonamide;
- 4-(3,5-Dichloro-phenoxy)-3-{4-[(2S)-(1-hydroxy-1-methyl-ethyl)-pyrrolidin-1-yl]-piperidine-1-sulfonyl}-benzonitrile;
- 4-(3,5-Dichloro-phenoxy)-3-(3-tetrazol-2-ylmethyl-piperazine-1-sulfonyl)-benzonitrile;
- 15 4-(3,5-Dichloro-phenoxy)-3-(3-[1,2,4]triazol-1-ylmethyl-piperazine-1-sulfonyl)-benzonitrile;
- 4-(3,5-Dichloro-phenoxy)-3-(2-[1,2,4]triazol-1-ylmethyl-piperazine-1-sulfonyl)-benzonitrile;
- 20 5-Cyano-2-(3,5-dichloro-phenoxy)-N-(2-dimethylamino-ethyl)-N-[2-(2,5-dioxo-pyrrolidin-1-yl)-ethyl]-benzenesulfonamide;
- 4-(3,5-Dichloro-phenoxy)-3-[3-(2,5-dioxo-pyrrolidin-1-ylmethyl)-piperazine-1-sulfonyl]-benzonitrile;
- 4-(3,5-Dichloro-phenoxy)-3-[3-(2,5-dioxo-pyrrolidin-1-ylmethyl)-4-pyrrolidin-1-yl-piperidine-1-sulfonyl]-benzonitrile;
- 25 4-(3,5-Dichloro-phenoxy)-3-{4-[(2S)-hydroxymethyl-pyrrolidin-1-yl]-piperidine-1-sulfonyl}-benzonitrile;

4-(3,5-Dichloro-phenoxy)-3-[(2S)-[(2S)-hydroxymethyl-pyrrolidin-1-ylmethyl]-pyrrolidine-1-sulfonyl]-benzonitrile;

N-(1-aza-bicyclo[2.2.2]oct-3-yl)-2-(3,5-dichloro-phenylsulfanyl)-5-nitro-benzenesulfonamide;

5 and

4-(3,5-Dichloro-phenoxy)-3-(piperidine-4-sulfonyl)-benzonitrile.

6. A medicament comprising the benzenesulfonamide derivative of the formula (I), its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 as an active ingredient.
- 10 7. The medicament as claimed in claim 6, further comprising one or more pharmaceutically acceptable excipients.
8. The medicament as claimed in claim 6, wherein said benzenesulfonamide derivative of the formula (I), its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is a CCR3 antagonist.
- 15 9. The medicament as claimed in claim 6 for the treatment and/or prophylaxis of an inflammatory disorder or disease.
10. The medicament as claimed in claim 9, wherein said inflammatory disorder or disease is selected from the group consisting of asthma, rhinitis, allergic diseases, and autoimmune pathologies.
- 20 11. The medicament as claimed in claim 6 for the treatment or prevention of a disease selected from the group consisting of HIV, lung granuloma, and Alzheimer's diseases.
12. Use of the benzenesulfonamide derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 to 5 in the preparation of a medicament for treating or preventing a CCR3 related disorder or disease.
- 25 13. The use of claim 12, wherein said disorder or disease is a inflammatory or immunoregulatory disorder or disease.

14. The use of claim 12, wherein said disorder or disease is selected from the group consisting of asthma, rhinitis, allergic diseases, and autoimmune pathologies.
15. The use of claim 12, wherein said disorder or disease is selected from the group consisting of HIV, lung granuloma, and Alzheimer's diseases.
- 5 16. The use of claim 12, wherein said benzenesulfonamide derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is formulated with one or more pharmaceutically acceptable excipients.
17. Process for controlling a inflammatory or immunoregulatory disorder or disease in humans and animals by administration of a CCR3-antagonistically effective amount
10 of at least one compound according to claim 1 to 5.